ABSTRACT OF THE DISCLOSURE

This invention provides novel methods and reagents for specifically delivering biologically active compounds to phagocytic mammalian cells. The invention also relates to specific uptake of such biologically active compounds by phagocytic cells and delivery of such compounds to specific sites intracellularly. The invention specifically relates to methods of facilitating the entry of antiviral and antimicrobial drugs and other agents into phagocytic cells and for targeting such compounds to specific organelles within the cell. The invention specifically provides compositions of matter and pharmaceutical embodiments of such compositions comprising conjugates of such antimicrobial drugs and agents covalently linked to particulate carriers generally termed microparticles. In particular embodiments, the antimicrobial drug is covalently linked to a microparticle *via* a cleavable linker moiety that is non-specifically cleaved in a phagocytic cell. In additional embodiments, the biologically-active compound is provided in an inactive, prodrug form that is activated by a chemical or enzymatic activity specific for cells infected by a microorganism, particularly a pathological or disease-causing microorganism. Thus, the invention provides cell targeting of drugs wherein the targeted drug is only activated in cells infected with a particular microorganism. Alternative embodiments of such specific drug delivery compositions also contain polar lipid carrier molecules effective in achieving intracellular organelle targeting in infected phagocytic mammalian cells. Particular embodiments of such conjugates comprise antimicrobial drugs covalently linked both to a microparticle *via* a cleavable linker molecule and to a polar lipid compound, to facilitate targeting of such drugs to particular subcellular organelles within the cell. Also provided are porous microparticles impregnated with antiviral and antimicrobial drugs and agents wherein the surface or outside extent of the microparticle is covered with a degradable coating that is degraded within a phagocytic mammalian cell. Also provided are nonporous microparticles coated with an antiviral or antimicrobial drug and further coated wherein the surface or outside extent of the microparticle is covered with a degradable coating that is degraded within a phagocytic mammalian cell. Methods of inhibiting, attenuating, arresting, combating and overcoming microbial infection of phagocytic mammalian cells *in vivo* and *in vitro* are also provided.

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